```
L2              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> d L1
L1 HAS NO ANSWERS
L1              SCR 963 AND 1006 AND 2076


=> s L2
SAMPLE SEARCH INITIATED 10:23:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       10 TO ITERATE

100.0% PROCESSED       10 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           11 TO      389
PROJECTED ANSWERS:               1 TO       80

L4            1 SEA SSS SAM L2

=> s L2 full
FULL SEARCH INITIATED 10:23:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      235 TO ITERATE

100.0% PROCESSED      235 ITERATIONS                                23 ANSWERS
SEARCH TIME: 00.00.01

L5           23 SEA SSS FUL L2

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.55     172.76

FILE 'CAPLUS' ENTERED AT 10:23:52 ON 06 JUL 2007
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FILE LAST UPDATED: 5 Jul 2007  (20070705/ED)

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=> s L5
```

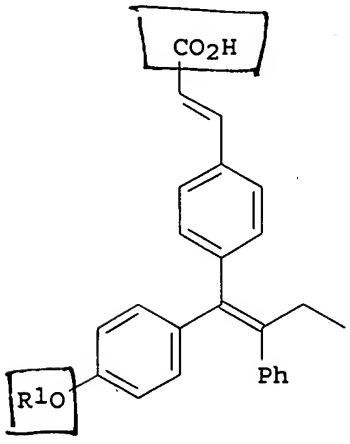
L6 2 L5

=> d L6 1-2 bib abs hitstr

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:324121 CAPLUS
DN 142:392179
TI Preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs.
IN Eaddy, John Fred, III; Heyer, Dennis; Katamreddy, Subba Reddy; Martin, Michael Tolar; McClure, Michael Scott; Randhawa, Amarjit Sab; Samano, Vicente; Ray, John Albert
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005033056 | A2 | 20050414 | WO 2004-US32918 | 20041004 |
| | WO 2005033056 | A3 | 20050623 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1692127 | A2 | 20060823 | EP 2004-809876 | 20041004 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| | US 2007111971 | A1 | 20070517 | US 2006-575038 | 20060406 |
| PRAI | US 2003-509678P | P | 20031008 | | |
| | US 2003-514692P | P | 20031027 | | |
| | WO 2004-US32918 | W | 20041004 | | |

OS CASREACT 142:392179; MARPAT 142:392179
GI




I

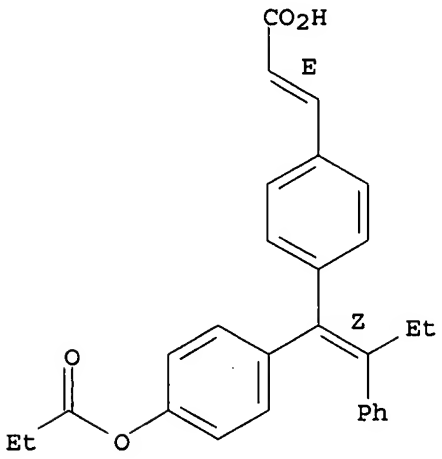
AB Title compds. (I; R1 = ACO, PO3H2; A = alkyl, aryl, heteroaryl, cycloalkyl, aminoalkyl, alkoxy, alkoxyalkyl, haloalkyl, heterocyclylalkyl), were prepared Thus, I (R1 = H) (preparation given) and Et3N

in THF at 5° were treated with propionyl chloride in THF followed by stirring for 1 h to give 64% I (R1 = EtCO). The latter orally in rats showed 86.6% bioavailability, vs. 5.7% for I (R1 = H).

IT 850005-11-7P 850005-12-8P 850005-13-9P
850005-14-0P 850005-15-1P 850005-17-3P
850005-18-4P 850005-20-8P 850005-21-9P
850005-23-1P 850005-24-2P 850005-25-3P
850005-26-4P 850005-27-5P 850005-28-6P
850005-30-0P 850005-31-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of acyloxydiphenylbutenylcinnamates as estrogen
receptor modulator prodrugs)

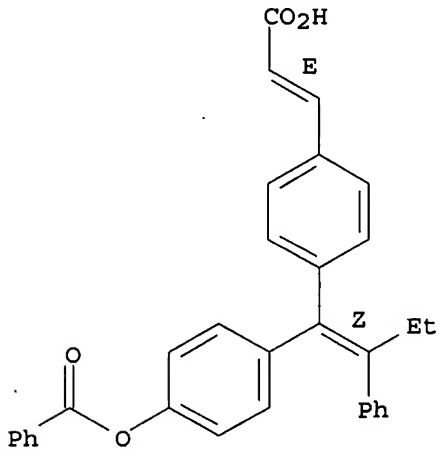
RN 850005-11-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(1-oxopropoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.




RN 850005-12-8 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(benzoyloxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

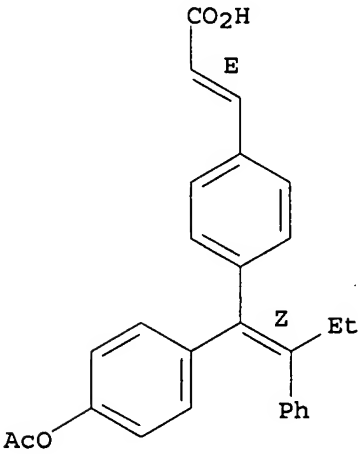
Double bond geometry as shown.




RN 850005-13-9 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(acetyloxy)phenyl]-2-phenyl-1-

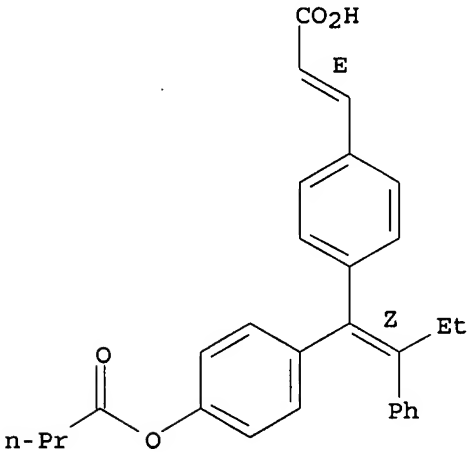
butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



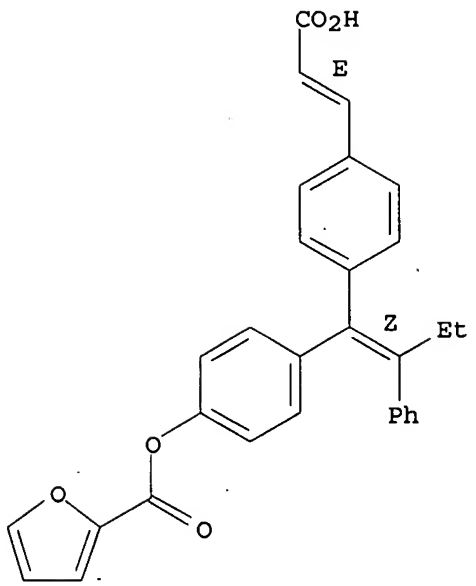

RN 850005-14-0 CAPLUS
CN Butanoic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.




RN 850005-15-1 CAPLUS
CN 2-Furancarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

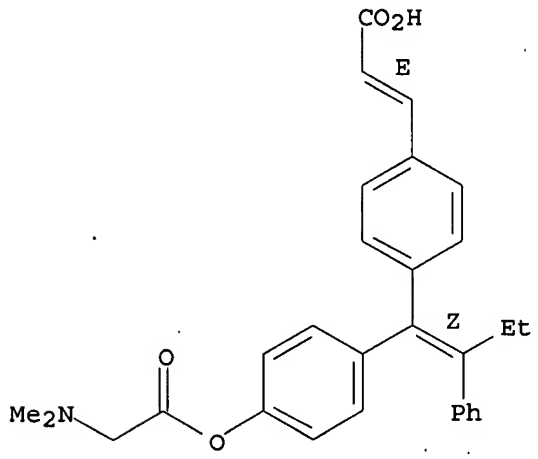



RN 850005-17-3 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[[(dimethylamino)acetyl]oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

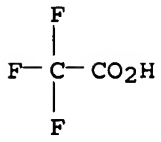
CRN 850005-16-2
CMF C29 H29 N O4

Double bond geometry as shown.



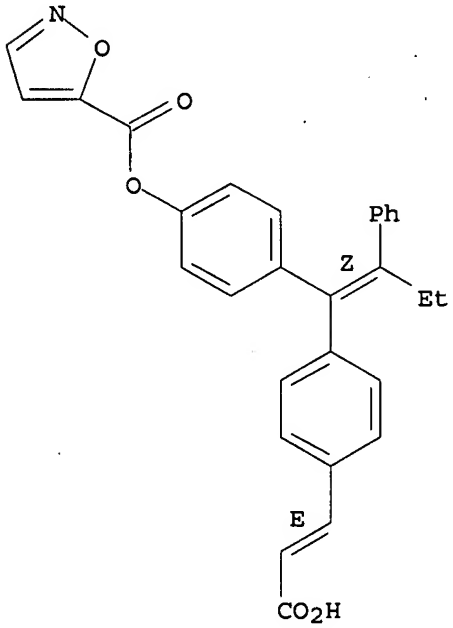

CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 850005-18-4 CAPLUS
CN 5-Isoxazolecarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

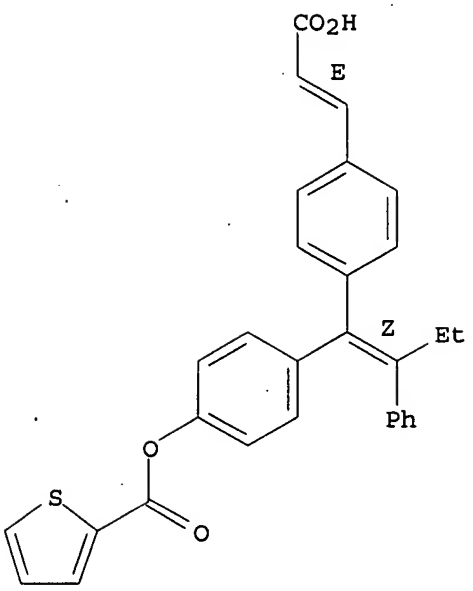


RN 850005-20-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester, compd. with trifluoroacetic acid (10:1) (9CI) (CA INDEX NAME)

CM 1

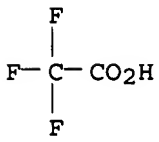
CRN 850005-19-5
CMF C30 H24 O4 S

Double bond geometry as shown.



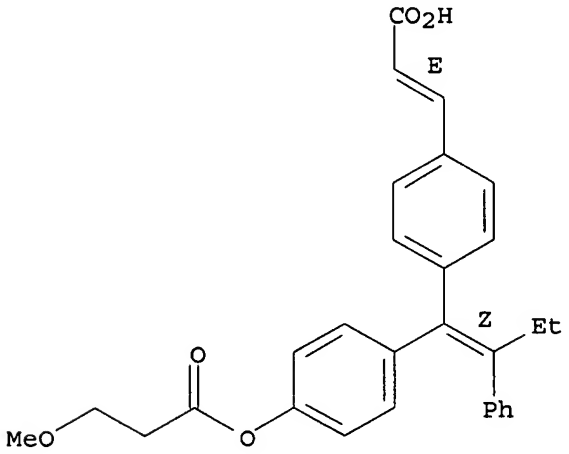
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 850005-21-9 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-(3-methoxy-1-oxopropoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



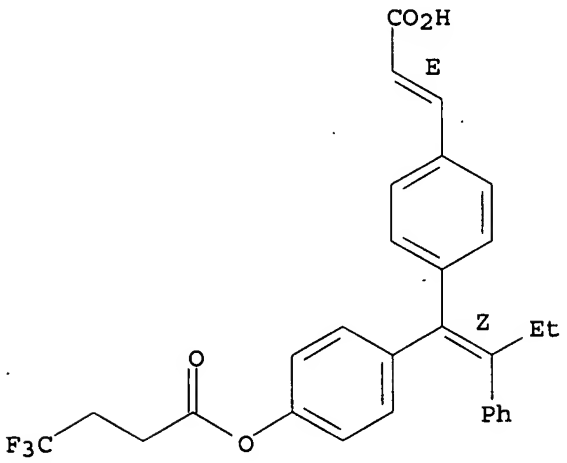
RN 850005-23-1 CAPLUS
CN Butanoic acid, 4,4,4-trifluoro-, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester, compd. with

trifluoroacetic acid (20:7) (9CI)  (CA INDEX NAME)

CM  1

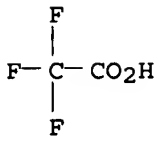
CRN  850005-22-0
CMF  C29 H25 F3 O4

Double bond geometry as shown.



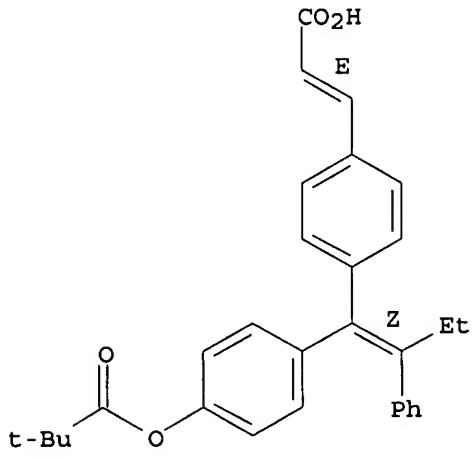

CM  2

CRN  76-05-1
CMF  C2 H F3 O2



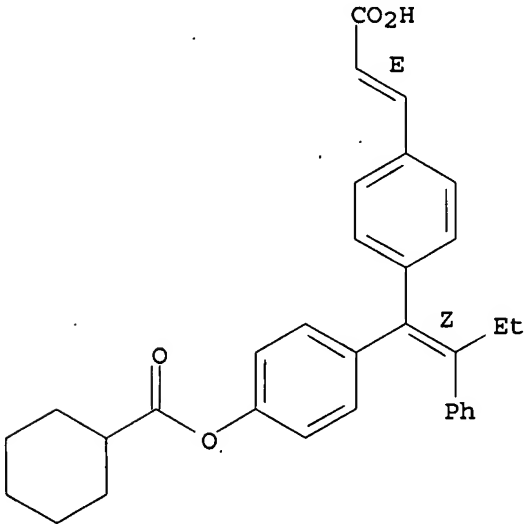

RN  850005-24-2  CAPLUS
CN  2-Propenoic acid, 3-[4-[(1Z)-1-[4-(2,2-dimethyl-1-oxopropoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI)  (CA INDEX NAME)

Double bond geometry as shown.



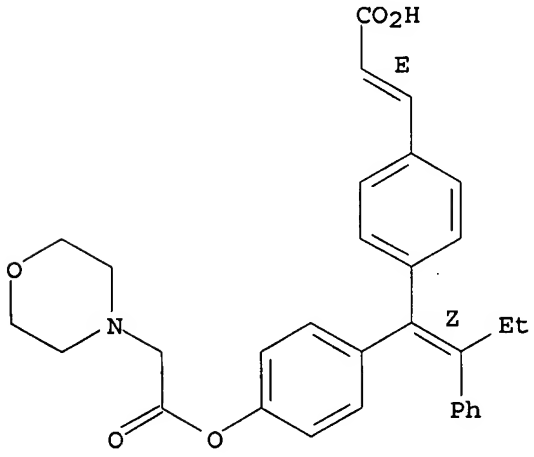
RN 850005-25-3 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



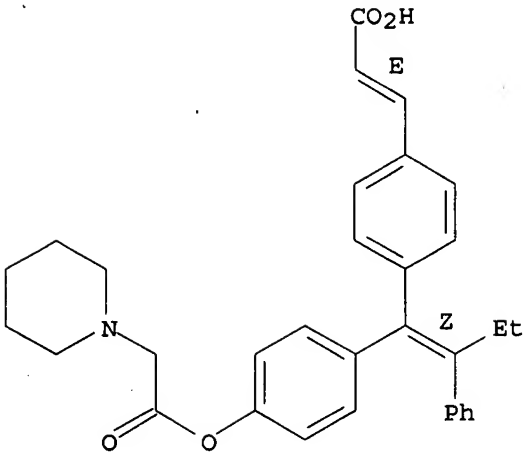

RN 850005-26-4 CAPLUS
CN 4-Morpholineacetic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



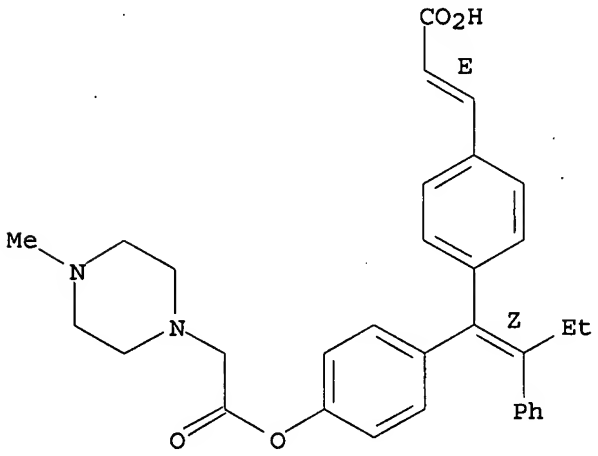

RN 850005-27-5 CAPLUS
CN 1-Piperidineacetic acid, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



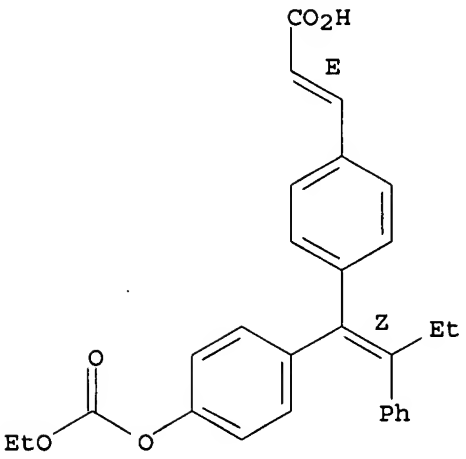

RN 850005-28-6 CAPLUS
CN 1-Piperazineacetic acid, 4-methyl-, 4-[(1Z)-1-[4-[(1E)-2-carboxyethenyl]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



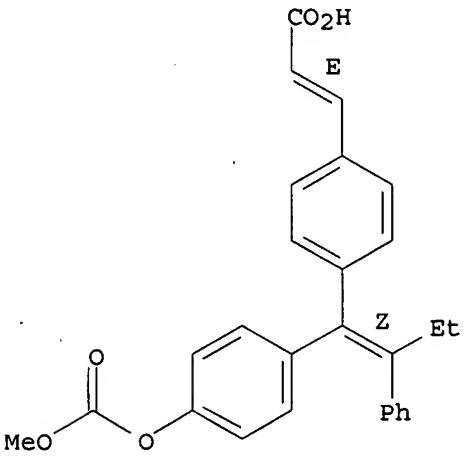

RN 850005-30-0 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(ethoxycarbonyl)oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



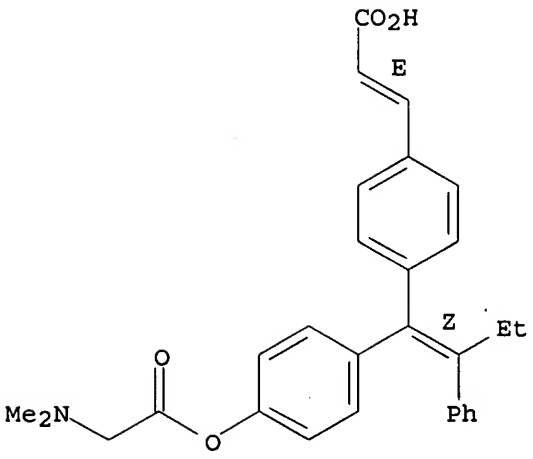

RN 850005-31-1 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(methoxycarbonyl)oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



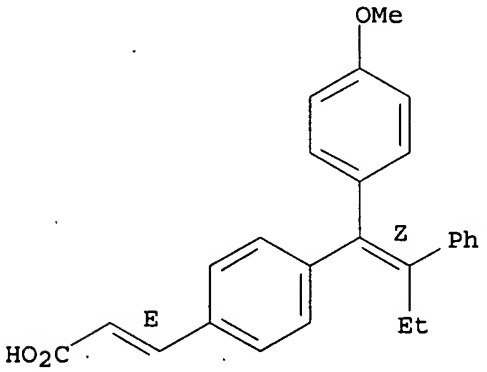

IT 850005-16-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs)
RN 850005-16-2 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[[(dimethylamino)acetyl]oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



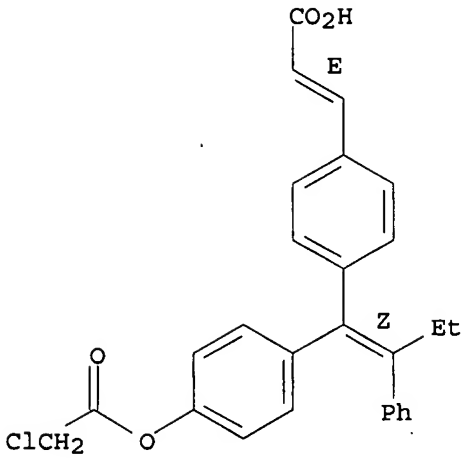

IT 850005-37-7P 850005-45-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acyloxydiphenylbutenylcinnamates as estrogen receptor modulator prodrugs)
RN 850005-37-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-(4-methoxyphenyl)-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



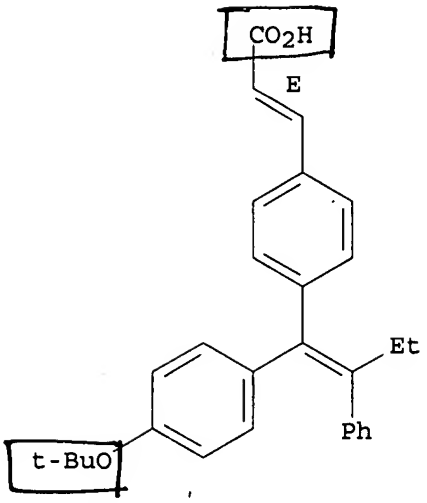

RN 850005-45-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[(1Z)-1-[4-[(chloroacetyl)oxy]phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.




L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:430866 CAPLUS
DN 135:235901
TI Differential SERM activation of the estrogen receptors (ERα and ERβ) at AP-1 sites
AU Weatherman, Ross V.; Clegg, Nicola J.; Scanlan, Thomas S.
CS Departments of Pharmaceutical Chemistry and Cellular and Molecular Pharmacology, University of California, San Francisco, CA, 94143-0446, USA
SO Chemistry & Biology (2001), 8(5), 427-436
CODEN: CBOLE2; ISSN: 1074-5521
PB Elsevier Science Ltd.
DT Journal
LA English
AB Background: The selective estrogen receptor modulators (SERMs) raloxifene and tamoxifen are triphenylethylene derivs. that affect transcriptional regulation by the estrogen receptors (ERα and ERβ) but show different effects in different tissues. A third triphenylethylene derivative, GW-5638, displays tissue selectivity in rats identical to that of raloxifene, suggesting that GW-5638 and raloxifene share a mechanism of action that is different from that of tamoxifen. Results: Both GW-5638 and its hydroxylated analog GW-7604 were tested for their ability to bind to ERα and ERβ and their ability to affect transcription of ERα and ERβ at a consensus estrogen response element and an ER/AP-1 response element. The drugs were found to have the same affinity for ERα and ERβ, although they were also found to activate transcription from an AP-1 promoter element more potently with ERβ than with ERα. Derivs. of GW-5638 with alterations at the carboxylic acid still showed increased ERβ potency compared to ERα, but the magnitude of the activation with ERα was much higher than with ERβ. Conclusions: Despite similar binding affinities to isolated ERα and ERβ, GW-5638 and GW-7604 show markedly lower EC50 values with ERβ at an AP-1-driven promoter as compared to ERα. This suggests that the two compds. produce a more active ER/AP-1 conformation of the ER/AP-1 transcription factor complex when bound to ERβ than when bound to ERα.
IT 361203-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(differential SERM activation of estrogen receptors at AP-1 sites)
RN 361203-04-5 CAPLUS
CN 2-Propenoic acid, 3-[4-[1-[4-(1,1-dimethylethoxy)phenyl]-2-phenyl-1-butenyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.




RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 11.01 | 183.77 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -1.56 | -1.56 |

STN INTERNATIONAL LOGOFF AT 10:24:25 ON 06 JUL 2007